

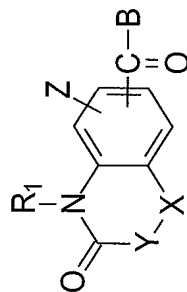
AMENDMENT

Amendment to the Specification:

Please delete Tables I-A through V on pages 34-75 of the specification and replace them with the following Tables I-A through V:

Table I-A: 6-ACYL-BENZAZINONES AND 7-ACYL-BENZOTHAZINONES

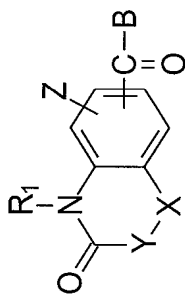
6-Acyl-benzoxazolinones, 6-acyl-benzothiazolinones, 6-acyl-benzoxazinones, 6-acyl-benzothiazinones and 7-acyl-benzothiazinones



Example	R ₁	X	Y	Z	B	Molecule	T ^o C	Method
1a	H	O	-	H			260-261	B (AlCl ₃ /DMF)
2a	CH ₃	O	-	H			202-204	B
3a	H	O	-	H			260-261	B
4a	CH ₃	O	-	H			200-201	B
5a	CH ₃	O	-	H			181-182	B
6a	CH ₃	O	-	H			163-164	B

Table I-A (continued)-BENZAZINONES AND 7-ACYL-BENZOTHIASINONES

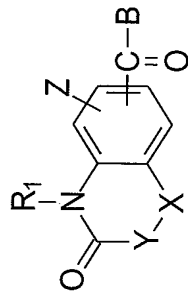
6-Acyl-benzoxazolinones, 6-acyl-benzothiazolinones, 6-acyl-benzoxazinones, 6-acyl-benzothiazinones and 7-acyl-benzothiazinones



Example	R ₁	X	Y	Z	B	Molecule	F°C	Method
7a	H	S	-	H			205-209	B
8a	CH ₃	S	-	H			196-199	B
9a	CH ₂ CH ₃	S	-	H			136-138	B
10a	H	CH ₂	-	H			250-253	B
11a	H	O	CH ₂	H			182-185	A. (PPA)

Table I-A (continued)-BENZAZINONES AND 7-ACYL-BENZOTHIAZINONES

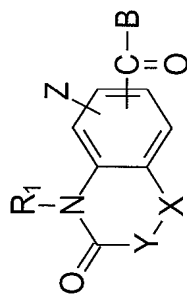
6-Acyl-benzoxazolinones, 6-acyl-benzothiazolinones, 6-acyl-benzoxazinones, 6-acyl-benzothiazinones and 7-acyl-benzothiazinones



Example	R ₁	X	Y	Z	B	Molecule	F°C	Method
12a	CH ₃	O	CH ₂	H			173-176	A.
13a	H	O	CH ₂	H			280-283	B
14a	CH ₃	O	CH ₂	H			208-211	B
15a	H	S	CH ₂	H			261-263	B
16a	CH ₃	S	CH ₂	H			179-180	B

Table I-A (continued)-BENZAZINONES AND 7-ACYL-BENZOTHIASINONES

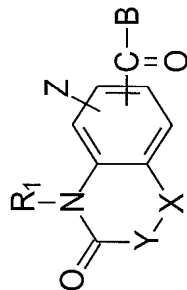
6-Acyl-benzoxazolinones, 6-acyl-benzothiazolinones, 6-acyl-benzoxazinones, 6-acyl-benzothiazinones and 7-acyl-benzothiazinones



Example	R ₁	X	Y	Z	B	Molecule	F°C	Method
17a	H	O	-	H			169-170	A (PPA)
18a	CH ₃	O	-	H			147-148	A.
19a	H	S	-	H			216-217	A
20a	CH ₃	S	-	H			148-149	A
21a	CH ₃	O	-	H			190-191	A

Table I-A (continued)-BENZAZINONES AND 7-ACYL-BENZOTHIAZINONES

6-Acyl-benzoxazolinones, 6-acyl-benzothiazolinones, 6-acyl-benzoxazinones, 6-acyl-benzothiazinones and 7-acyl-benzothiazinones

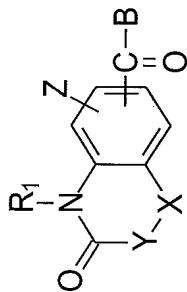


Example	R ₁	X	Y	Z	B	Molecule	F°C	Method
22a	CH ₃	S	-	H			176-177	A.
23a	H	S	-	H			260-265	B
24a	H	O	CH ₂	H			281-282	B
25a	H	S	CH ₂	H			194-196	B

Table I-B: 6-ACYL-BENZAZINONES

6-acyl-benzothiazolinones, 6-acyl- benzoselenazolinones

5



Example	R ₁	X	Y	Z	B	Isomer	Molecule	F°C	Method
1	H	S	-	H		6		260-265	B (AlCl ₃ /DMF)
2	CH ₂ CH ₃	S	-	H		6		148-152	N-alkyl
3	H	Se	-	H		6		230-232	B
4	CH ₃	Se	-	H		6		205-210	B
5	CH ₂ CH ₃	Se	-	H		6		130-135	N-alkyl

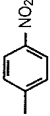
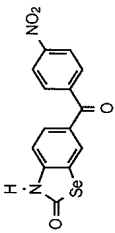
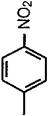
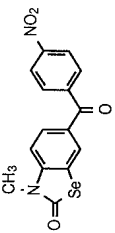
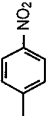
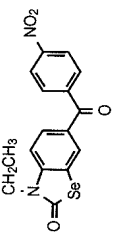
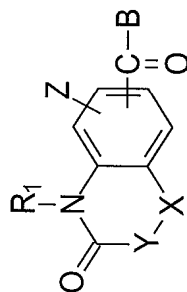
6	H	Se	-	H		6		241-245	B
7	CH ₃	Se	-	H		6		151-155	N-alkyl
8	CH ₂ CH ₃	Se	-	H		6		97-102	N-alkyl

TABLE II: 5 and 7-ACYL-BENZAZINONES
5-Acyl-benzoxazolinones, 7-acyl-benzoxazinones



Example	R ₁	X	Y	Z	B	Molecule	F ^o C	Preparation
26a	H	O	-	H			250-253	2
27a	H	O	-	H			307-310	2
28a	H	O	-	6-OCH ₃			224-226	2
29a	H	O	-	H			153-160	2
30a	CH ₃	O	-	H			152-156	2
31a	CH ₃	O	-	H			163-164	2

TABLE II (continued): 5 and 7-ACYL-BENZAZINONES
5-Acyl-benzoxazolinones, 7-acyl-benzoxazolinones

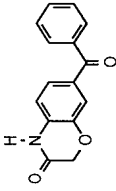
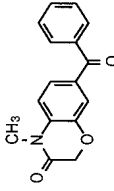
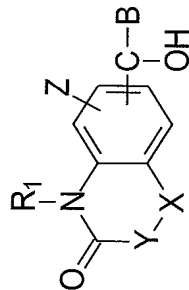
32a	H	O	CH ₂	H		210-213	3
33a	CH ₃	O	CH ₂	H		117-119	3

Table III-A: REDUCED DERIVATIVES
 Hydroxyaryl/methyl benzazinones



Example	R ₁	X	Y	Z	B	Molecule	F ^o C
1b	H	O	-	H			195-197
2b	CH ₃	O	-	H			145-146
3b	H	O	-	H			130-131
4b	CH ₃	O	-	H			83-85
5b	CH ₃	O	-	H			243-245

Table III-A (continued): REDUCED DERIVATIVES
Hydroxyarylmethyl benzazinones


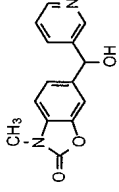
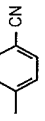
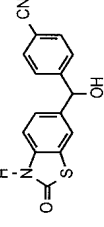
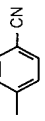
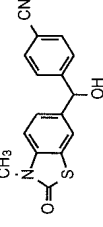
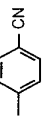
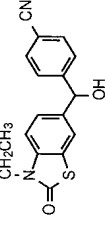
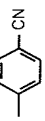
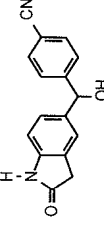

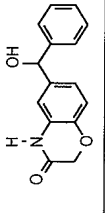

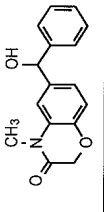
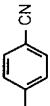
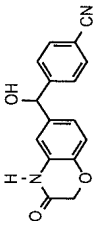
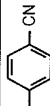
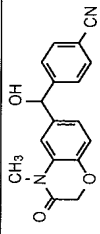
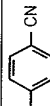
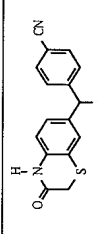
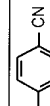
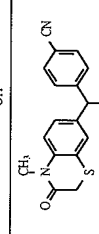

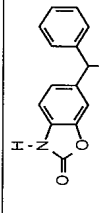

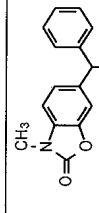

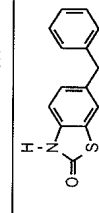

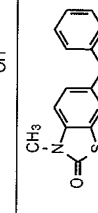
Example	R ₁	X	Y	Z	B	Molecule	F ^o C
6b	CH ₃	O	-	H			157-158
7b	H	S	-	H			202-203
8b	CH ₃	S	-	H			196-197
9b	CH ₂ CH ₃	S	-	H			146-150
10b	H	CH ₂	-	H			178-180
11b	H	O	CH ₂	H			180-182
12b	CH ₃	O	CH ₂	H			unstable

Table III-A (continued): REDUCED DERIVATIVES
Hydroxyaryl(methyl) benzazinones

Example	R ₁	X	Y	Z	B	Molecule	F ^o C
13b	H	O	CH ₂	H			156-160
14b	CH ₃	O	CH ₂	H			115-118
15b	H	S	CH ₂	H			238-240
16b	CH ₃	S	CH ₂	H			115-118
17b	H	O	-	H			143-144
18b	CH ₃	O	-	H			119-120
19b	H	S	-	H			159-160
20b	CH ₃	S	-	H			127-129

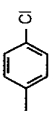
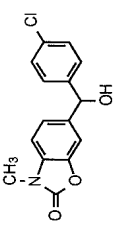
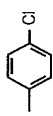
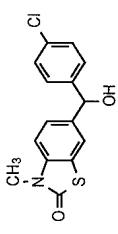
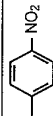
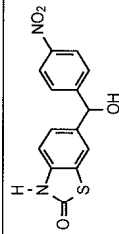
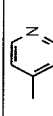
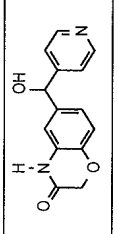
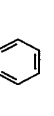
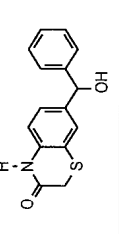
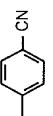
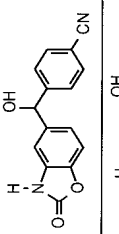
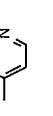
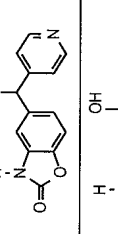

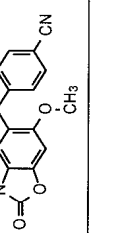
21b	CH ₃	O	-	H			154-155
22b	CH ₃	S	-	H			152-155
23b	H	S	-	H			208-212
24b	H	O	CH ₂	H			257-260
25b	H	S	CH ₂	H			173-179
26b	H	O	-	H			208-212
27b	H	O	-	H			216-220
28b	H	O	-	6-OCH ₃			156-157

Table III-A (continued): REDUCED DERIVATIVES
Hydroxyaryl/methyl benzazinones


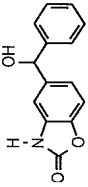

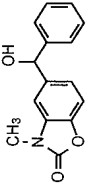

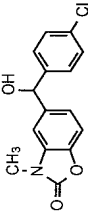

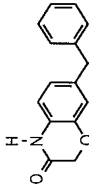

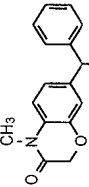
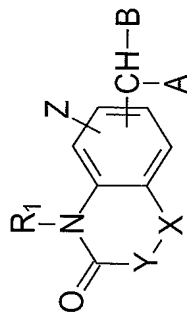
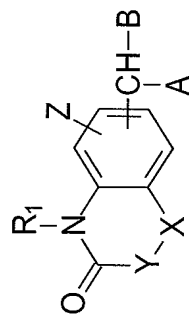
Example	R ₁	X	Y	Z	B	Molecule	mp°C
29b	H	O	-	H			153-154
30b	CH ₃	O	-	H			127-128
31b	CH ₃	O	-	H			149-153
32b	H	O	CH ₂	H			132-137
33b	CH ₃	O	CH ₂	H			117-119

Table III-B: REDUCED DERIVATIVES
Hydroxymethyl benzazinone



Example	R ₁	X	Y	Z	B	Isomer	Molecule	F° C
1a	CH ₂ CH ₃	S	-	H		6		160-162
2a	H	Se	-	H		6		209-213
3a	CH ₃	Se	-	H		6		205-208
4a	CH ₂ CH ₃	Se	-	H		6		132-134
5a	CH ₃	Se	-	H		6		182-183
6a	CH ₂ CH ₃	Se	-	H		6		135-137
7a	CH ₃	S	-	H		5		183-186
8a	CH ₂ CH ₃	S	-	H		5		156-158

Table IV



Example	Code	R ₁	X	Y	Z	A	B	Molecule	P ^o C
1	PCH113	H	O	-	H				122-126
2	PCH27	CH ₃	O	-	H				85-87
3	PCH119	H	O	-	H				113-117

Table IV (continued)


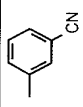
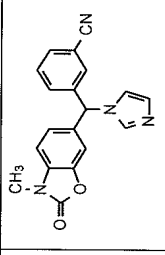

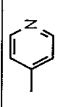
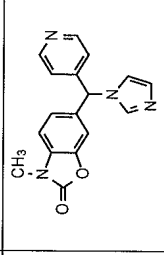

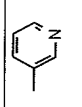
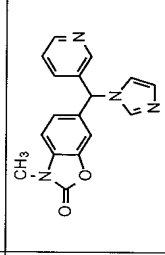

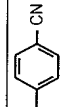
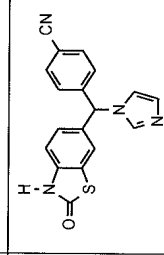

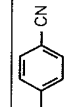
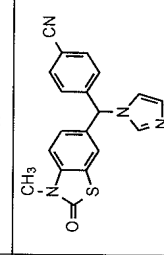
4	PCH122	CH ₃	O	-	H				185-187
5	PCH30	CH ₃	O	-	H				66-68
6	PCH116	CH ₃	O	-	H				60-65
7	PCH215	H	S	-	H				214-216
8	PCH165	CH ₃	S	-	H				105-108

Table IV (continued)


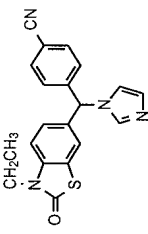

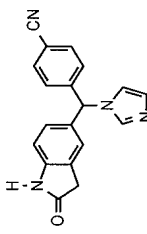

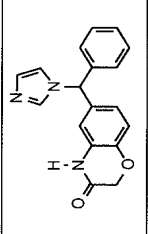

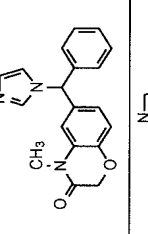

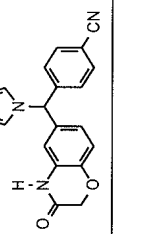
9	PCH241	CH ₂ CH ₃	S	-	H			95-98
10	PCH234	H	CH ₂	-	H			200-209
11	PCH218	H	O	CH ₂	H			139-143
12	PCH213	CH ₃	O	CH ₂	H			123-125
13	PCH225	H	O	CH ₂	H			135-140

Table IV (continued)


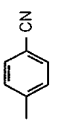
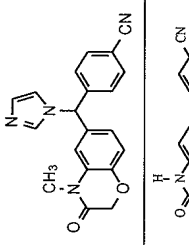

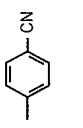
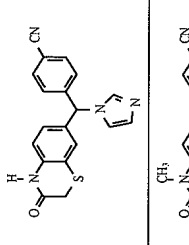

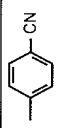
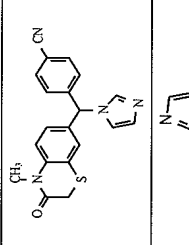

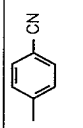
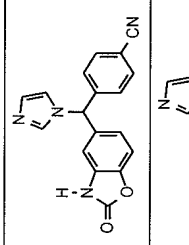

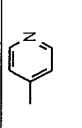
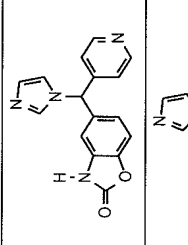

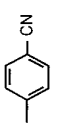
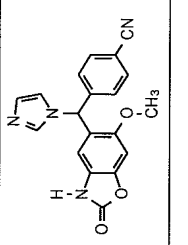
14	PCH222	CH ₃	O	CH ₂	H				80-87
15	PCH229	H	S	CH ₂	H				150-155
16	PCH240	CH ₃	S	CH ₂	H				74-80
17	PCH128	H	O	-	H				128-132
18	PCH129	H	O	-	H				75-80
19	GCA36	H	O	-	6-OCH ₃				165-160

Table IV (continued)


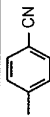
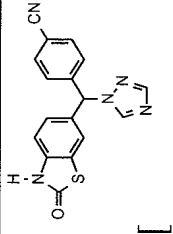

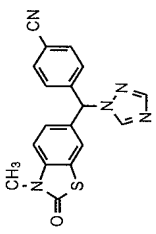

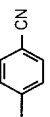
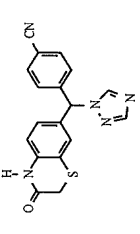

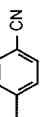
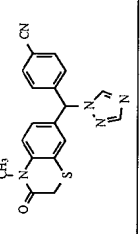
20	PCH216	H	S	-	H				127-130
21	PCH158	CH ₃	S	-	H				165-168
22	PCH230	H	S	CH ₂	H				215-218
23	PCH231	CH ₃	S	CH ₂	H				95-100

Table IV (continued)



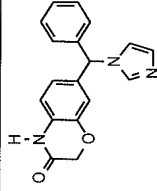


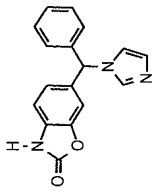


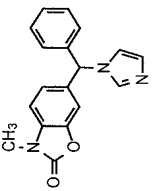

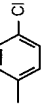
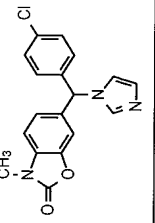


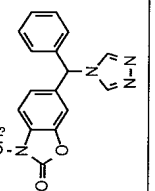
24	PCH211	H	O	CH ₂	H				203-206
25	PCH10	H	O	-	H				193-195
26	AL22	CH ₃	O	-	H				73-74
27	PCH15	CH ₃	O	-	H				76-78
28	PCH21	CH ₃	O	-	H				225-226

Table IV (continued)



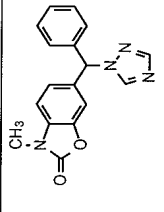


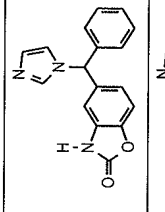


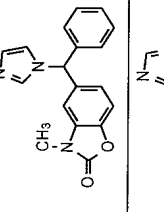


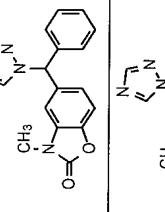


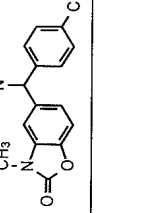
29	PCH20	CH ₃	O	-	H				77-79
30	PCH124	H	O	-	H				108-111
31	PCH31	CH ₃	O	-	H				133-135
32	PCH183	CH ₃	O	-	H				135-138
33	PCH160	CH ₃	O	-	H				70-74

Table IV (continued)

34	GCA37	H	O	-	6-OCH ₃			125-130
35	PCH100	H	S	-	H			55-60
36	PCH28	CH ₃	S	-	H			65-68
37	PCH208	CH ₃	S	-	H			150-154

Table IV (continued)


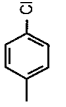
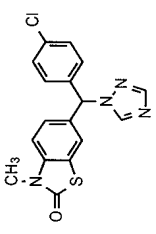

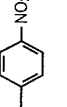
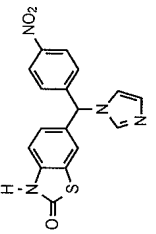


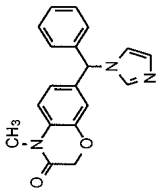


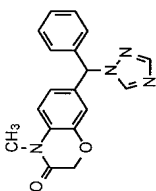


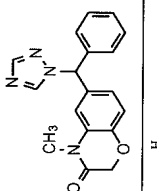


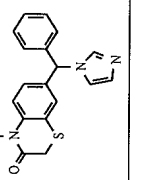
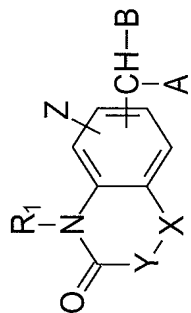
38	PCH164	CH ₃	S	-	H				106-112
39	PCH249	H	S	-	H				238-241
40	PCH19	CH ₃	O	CH ₂	H				66-68
41	PCH210	CH ₃	O	CH ₂	H				160-164
42	PCH214	CH ₃	O	CH ₂	H				140-150
43	PCH227	H	S	CH ₂	H				187-189

Table IV (continued)

Example	Code	R ₁	X	Y	Z	A	B	Isomer	Molecule	F°C
44	PCH 243	CH ₂ CH ₃	S	-	H			6		79-83
45	PCH 302	H	Se	-	H			6		223-226
46	PCH 300	CH ₃	Se	-	H			6		154-158
47	PCH 303	CH ₂ CH ₃	Se	-	H			6		95-98

Table IV (continued)


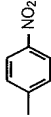
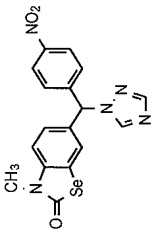

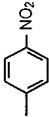
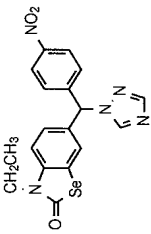

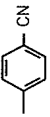
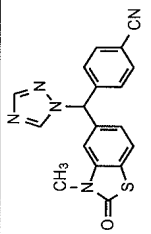

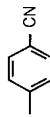
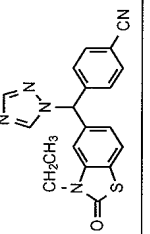
48	PCH 304	CH ₃	Se	-	H			6		190-195
49	PCH 305	CH ₂ CH ₃	Se	-	H			6		79-82
50	PCH 163	CH ₃	S	-	H			5		122-125
51	PCH 246	CH ₂ CH ₃	S	-	H			5		125-127

TABLE V
Results of tests in vitro and in vivo of compounds of formula (I) according to the invention

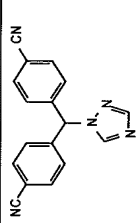
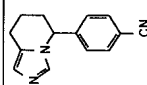
Code	Compound	Activity <i>In vitro</i> IC ₅₀ (nM)	% inhibition to doses (µg/Kg)
Letrozole		4.23	66% (1) 57, 59% (1) 74% (3) 91, 86% (5) 90% (10) 94, 89% (10)
(s)- Fadrozole		61 (h) 260 (e)	

TABLE V (continued)

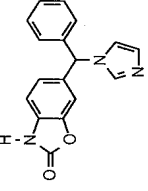
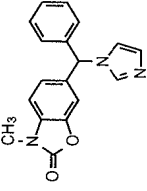
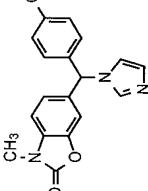
BENZOXAZOLINONIC DERIVATIVES				
Benzoxazolinonic derivatives substituted in position 6				
Code	Compound	Activity <i>In vitro</i> IC₅₀ (nM)	% inhibition to doses	
PCH10		84.63 (h) 103.3 (e)		
AL22		320 (h) 340 (e)		
PCH15		>2000 (h) nd (e)		

TABLE V (continued)

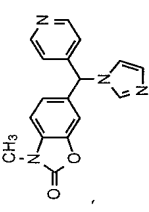
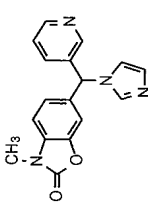
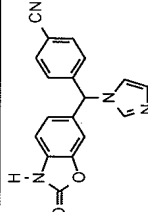
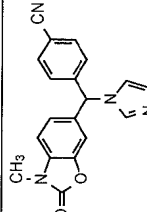
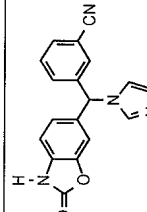
PCH30		38.0 (h) 47.7 (e)	
PCH116		33.7 (h) 34.6 (e)	
PCH113		13.25 (h) 14.6 (e)	19% (10) 50% (100) 94% (1000)
PCH27		46.2 (h) 72 (e)	
PCH119		25.05 (h) 27.7 (e)	

TABLE V (continued)

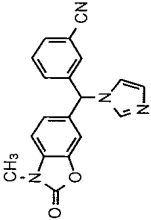
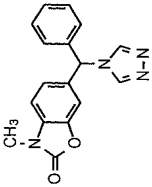
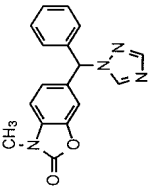
PCH122		18.63 (h) 23.25 (e)	39% (10) 58% (100) 92% (1000)
PCH21		>3000 (h) nd (e)	
PCH20		>3000 (h) nd (e)	

TABLE V (continued)

Benzoxazolinonic derivatives substituted at position 5				
Code	Compound	Activity <i>In vitro</i> IC ₅₀ (nM)	% inhibition to doses (µg/kg)	
PCH124		14.95 (h) 14.1 (e)	34% (10) 71% (100) 92% (1000)	
PCH31		46.6 (h) 50.1 (e)		
PCH129		26.8		
PCH128		5.83	29% (1) 29% (10) 53% (100)	
GCA36		19.9		

TABLE V (continued)

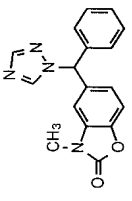
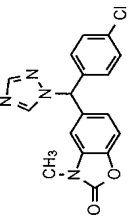
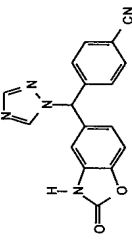
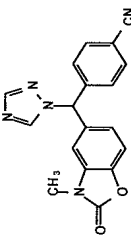
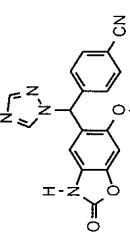
PCH183		1813	
PCH160		18.7	
PCH195		17.1	
PCH196		24.9	
GCA37		328	

TABLE V (continued)

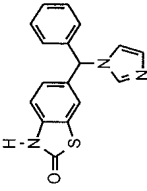
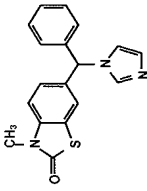
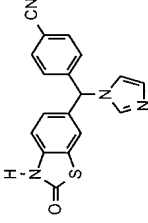
Benzothiazolinonic derivatives			
Benzothiazolinonic derivatives substituted in position 6			
Code	Compound	Activity <i>In vitro</i> IC ₅₀ (nM)	% inhibition to doses (µg/Kg)
PCH100		33.65 (h) 34.0 (e)	
(+/-) PCH28		12.1 (h) 23.4 (e)	13% (10) 25% (100) 75% (1000)
(E1) PCH28		24.35 (h) 24.9 (e)	
(E2) PCH28		26.43 (h) 22.6 (e)	
PCH215		4.04	0% (1) 56% (10) 90% (100)

TABLE (V) continued

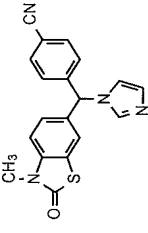
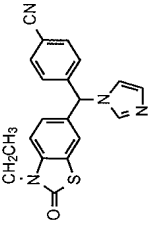
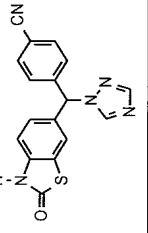
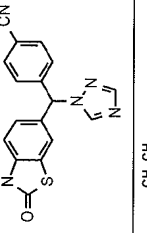
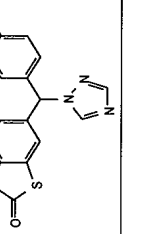
PCH165 (+/-)CD4		4.54	22% (1) 23% (10) 66% (100)
PCH165 (+)CD4		8.81	
PCH165 (-)CD4		4.94	
PCH241		4.29	18% (1) 37% (3) 16% (10)
PCH216		7.51	21% (1) 32% (10) 76% (100)
PCH158 (PCH190)		8.71	54, 60% (1) 56, 74% (10) 68, 100% (100)
PCH260		4.49	32% (1) 50% (10) 90% (100)

TABLE V (continued)

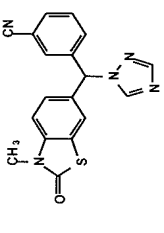
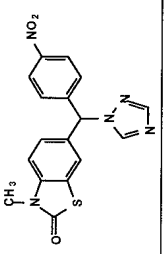
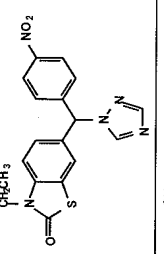
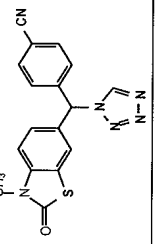
PCH258		31.7	
PCH259		3.05	31% (1) 63% (10) 88% (100)
PCH243		3.99	
PCH248		11.8	

TABLE V (continued)

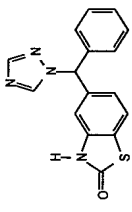
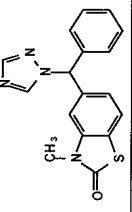
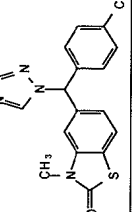
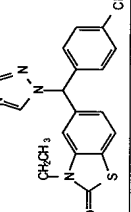
Benzothiazolinonic derivatives substituted in position 5				
Code	Compound	Activity <i>In vitro</i> IC ₅₀ (nM)	% inhibition to doses (µg/Kg)	
PCH132		178		
PCH134		179		
PCH163		5.78	57% (1) 83% (10) 95% (100)	
PCH246		5.51	22% (1) 45% (10) 91% (100)	

TABLE V (continued)

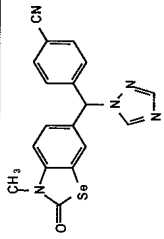
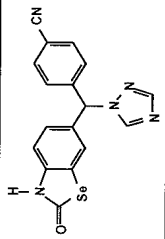
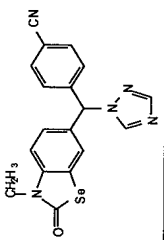
Benzoselenazolinonic derivatives				
Selenazolinonic derivatives substituted in position 6				
Code	Compound	Activity <i>In vitro</i> IC ₅₀ (nM)	% inhibition to doses (µg/Kg)	
PCH300		4.64	49% (1) 86% (10) 91% (100)	
PCH302		6.53	45% (1) 20% (10) 63% (100)	
PCH303		3.99	38% (1) 60% (10) 71% (100)	

TABLE V (continued)

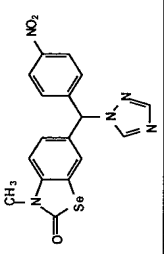
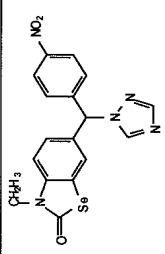
PCH304		3.64	
PCH305		3.70	

TABLE V (continued)

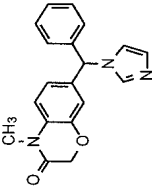
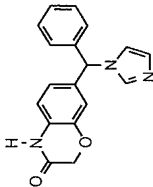
Benzoxazinonic derivatives			
Benzoxazinonic derivatives substituted at position 7			
Code	Compound	Activity <i>In vitro</i> IC ₅₀ (nM)	% inhibition to doses (µg/Kg)
PCH19		52.48 (h) 59.87 (e)	
PCH211		74.4	

TABLE V (continued)

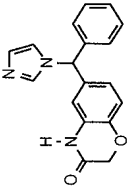
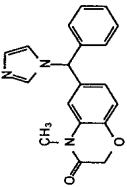
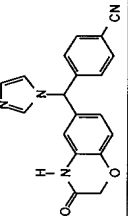
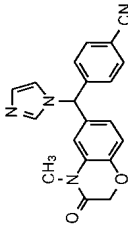
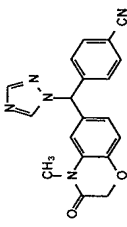
Code	Compound	Activity <i>In vitro</i> IC ₅₀ (nM)	% inhibition to doses (μ g/Kg)
PCH218		65.5	
PCH213		5.64	0% (1) 3% (10) 5% (100)
PCH225		9.90	
PCH222		3.44	0% (1) 22% (3) 32% (10)
PCH 223			4% (1) 22% (10) 66% (100)

TABLE V (continued)

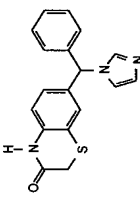
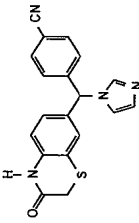
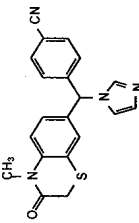
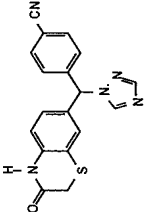
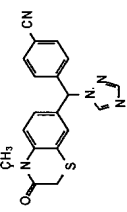
Benzothiazinonic derivatives				
Benzothiazinonic derivatives substituted in position 7				
Code	Compound	Activity <i>In vitro</i> IC ₅₀ (nM)	% inhibition to doses (μ g/Kg)	
PCH227		55.1		
PCH229		13.8	11% (10) 42% (100) 83% (1000)	
PCH240		5.38		

TABLE V (continued)

PCH230		34.8	2% (10) 22% (100) 74% (1000)
PCH231		56.6	